

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

I, ADRIAN PAUL BROWN, M.A., M.I.L., M.I.T.I., declare

1. That I am a citizen of the United Kingdom of Great Britain and Northern Ireland, residing at 5 Gilbert Road, London, SE11 4NZ.
2. That I am well acquainted with the German and English languages.
3. That the attached is a true translation into the English language of the amended claims of International Patent Application No. PCT/EP00/08118.
4. That all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements are made with the knowledge that wilful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such wilful false statements may jeopardise the validity of the patent application in the United States of America or any patent issuing thereon.

DECLARED THIS 16<sup>th</sup> DAY OF JANUARY 2002



A. P. BROWN

**Amended claims**

1. Compound of the general formula A – C



wherein

A is an amino acid having at least one functional group in the side chain,

B is a chemical compound covalently bound to at least one functional group of the side chain of A, namely

- oligopeptides having a chain length of up to 20 amino acids, except for homopolymers of glycine consisting of up to 6 glycine monomers, or
- polyethylene glycols having molar masses of up to 20 000 g/mol,

C is a thiazolidine, pyrrolidine, cyanopyrrolidine, hydroxyproline, dehydroproline or piperidine group amide-bonded to A.

2. Compound according to claim 1, characterised in that A is an  $\alpha$ -amino acid.

3. Compound according to one of the preceding claims, characterised in that A is a natural  $\alpha$ -amino acid.

4. Compound according to one of the preceding claims, characterised in that the amino acid is threonine, tyrosine, serine, arginine, lysine, aspartic acid, glutamic acid or cysteine.

5. Compound according to one of the preceding claims, characterised in that the oligopeptides have chain lengths of from 3 to 15 amino acids.

6. Compound according to one of the preceding claims, characterised in that the oligopeptides are homopolymers, copolymers or block copolymers.

7. Compound according to one of the preceding claims, characterised in that the polyethylene glycols have molar masses of at least 250 g/mol.

8. Compound according to one of the preceding claims, characterised in that C is a thiazolidine, pyrrolidine or cyanopyrrolidine group.
9. Pharmaceutical composition that comprises a compound according to one of the preceding claims, optionally in combination with carriers or adjuvants customary *per se*.
10. Cosmetic composition that comprises a compound according to one of claims 1 to 8, optionally in combination with carriers or adjuvants customary *per se*.
11. Use of at least one compound or pharmaceutical or cosmetic composition according to one of the preceding claims for topically influencing the activity of dipeptidyl peptidase IV or of analogous enzymes.
12. Use of at least one compound or pharmaceutical or cosmetic composition according to one of claims 1 to 10 for prophylaxis or therapy of diseases of the skin or mucosa, autoimmune diseases and inflammation.
13. Use of at least one compound or pharmaceutical or cosmetic composition according to one of claims 1 to 10 for prophylaxis or therapy of inflammation, psoriasis, allergies, arthritis, tumours or autoimmune diseases.
14. Use of at least one compound or pharmaceutical or cosmetic composition according to one of claims 1 to 10 in the form of an ointment, cream, cosmetic, patch, dressing, drops, spray, inhalation, implant or injection solution.
15. Pharmaceutical composition which comprises  
at least one compound of the general formula A - C

|

B

wherein

A is an amino acid having at least one functional group in the side chain,

B is a chemical compound covalently bound to at least one functional group in the side chain of A, namely oligopeptides having a chain length of up to 20 amino acids, polyethylene

glycols having molar masses of up to 20 000 g/mol, optionally substituted organic amines, amides, alcohols, acids or aromatic compounds having from 8 to 50 C atoms,

C is a thiazolidine, pyrrolidine, cyanopyrrolidine, hydroxyproline, dehydroproline or piperidine group amide-bonded to A,

with H-Glu[NH(CH<sub>2</sub>)<sub>7</sub>CONH(CH<sub>2</sub>)<sub>3</sub>NHZ]pyrrolidide and H-Lys[CO(CH<sub>2</sub>)<sub>3</sub>NHSO<sub>2</sub>Pfp]pyrrolidide being excluded,

and

at least one customary adjuvant appropriate for the site of action.

16. Pharmaceutical composition according to claim 15, characterised in that A is an  $\alpha$ -amino acid.

17. Pharmaceutical composition according to one of claims 15 or 16, characterised in that A is a natural  $\alpha$ -amino acid.

18. Pharmaceutical composition according to one of claims 15 to 17, characterised in that the amino acid is threonine, tyrosine, serine, arginine, lysine, aspartic acid, glutamic acid or cysteine.

19. Pharmaceutical composition according to one of claims 15 to 18, characterised in that the oligopeptides have chain lengths of from 3 to 15 amino acids.

20. Pharmaceutical composition according to one of claims 15 to 19, characterised in that the oligopeptides are homopolymers, copolymers or block copolymers.

21. Pharmaceutical composition according to one of claims 15 to 20, characterised in that the polyethylene glycols have molar masses of at least 250 g/mol.

22. Pharmaceutical composition according to one of claims 15 to 21, characterised in that C is a thiazolidine, pyrrolidine or cyanopyrrolidine group.

23. Pharmaceutical composition according to one of claims 15 to 22, characterised in that it is used in the form of an ointment, cream, cosmetic, patch, dressing, drops, spray, inhalation, implant or injection solution.
24. Pharmaceutical composition according to one of claims 15 to 23, characterised in that it is used in combination with carriers customary *per se*.
25. Use of a pharmaceutical composition according to one of claims 15 to 24 for topically influencing the activity of dipeptidyl peptidase IV or of analogous enzymes.
26. Use of a pharmaceutical composition according to one of claims 15 to 24 for prophylaxis or therapy of diseases of the skin or mucosa, autoimmune diseases and inflammation.
27. Use of a pharmaceutical composition according to one of claims 15 to 24 for prophylaxis or therapy of inflammation, psoriasis, periodontitis, allergies, arthritis, tumours or autoimmune diseases.

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